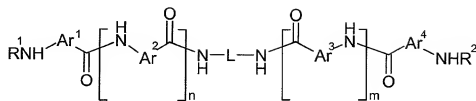


**What is Claimed:**

1. A compound of Formula (I):



(I)

wherein:

$R^1$  and  $R^2$  are, independently of each other:

- (i) hydrogen;
- (ii) alkyl; or
- (iii)  $-COR^3$  wherein  $R^3$  is selected from the group consisting of alkyl, amino, monosubstituted amino, disubstituted amino, or alkyl substituted with one, two or three substituents selected from the group consisting of amino, monosubstituted amino, disubstituted amino, guanidino, amidino, aminoacyl,  $-NHCOR^4$  (wherein  $R^4$  is hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, cycloalkyl, substituted cycloalkyl, cycloalkylalkyl, substituted cycloalkylalkyl, heteroaryl, substituted heteroaryl, heteroaralkyl, or substituted heteroaralkyl),  $-NHCONHR^4$  (wherein  $R^4$  is as defined above), aryl, substituted aryl, heteroaryl, substituted heteroaryl, carboxy, alkoxycarbonyl, and  $-OR^b$  (where  $R^b$  is hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, cycloalkyl, substituted cycloalkyl, cycloalkylalkyl, substituted cycloalkylalkyl, heteroaryl, substituted heteroaryl, heteroaralkyl, or substituted heteroaralkyl), provided that at least one of  $R^1$  and  $R^2$  is a group that can form a pharmaceutically acceptable acid addition salt;

n and m are independently an integer from 0 to 4; and  
 $Ar^1$ ,  $Ar^2$ ,  $Ar^3$ , and  $Ar^4$  are independently selected from the group consisting of arylene, substituted arylene, and optionally substituted heteroarylene; and

L is:

- (i) alkylene;
- (ii) alkylene substituted with one, two or three substituent(s) selected from the group consisting of aryl,  $-CONHR^4$  (wherein  $R^4$  is hydrogen, alkyl, substituted alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, heteroaryl, substituted heteroaryl, heteroaralkyl, or substituted heteroaralkyl, heterocyclic, substituted heterocyclic, heterocyclicalkyl, heteroarylthioalkyl, or  $-(CHR^5)_{n1}-CO-(NH-Ar^3-CO)_m-NH-Ar^4-CO-NHR^3$  where  $n1$  is 1 to 3,  $R^5$  is hydrogen or alkyl, substituted alkyl, and  $Ar^3$ , m,  $Ar^4$ , and  $R^3$  are as defined above),  $-CONHNHR^6$  [wherein  $R^6$  is alkyl, substituted alkyl, aryl, substituted aryl, aralkyl, substituted aralkyl,  $-COR^7$ ,  $-COOR^8$  (wherein  $R^7$  and  $R^8$  are independently of each other alkyl, substituted alkyl, aryl, substituted aryl, aralkyl, cycloalkyl, substituted cycloalkyl, cycloalkylalkyl, substituted cycloalkylalkyl, heteroaryl, substituted heteroaryl, or heteroaralkyl), heteroaryl, or heteroaralkyl],  $-NHR^9$  (wherein  $R^9$  is hydrogen, alkyl, substituted alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, aminoalkylcarbonyl, or heterocycliccarbonyl), and guanidino; or
- (iii)  $-(alkylene)_x-Z-(alkylene)_y-(Z^a)_z-$  wherein x, y and z are independently 0, 1, or 2 and Z and  $Z^a$  are, independently of each other, phenylene, cycloalkylene optionally fused to one or two phenylene ring(s), heterocyclene,  $-O-$ ,  $-S-$ ,  $-NR^{10}-$  [wherein  $R^{10}$  is hydrogen, alkyl, substituted alkyl, cycloalkylcarbonyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl,  $-CONHR^4$ ,  $-COR^7$ ,  $-COOR^8$  (where  $R^4$ ,  $R^7$  and  $R^8$  are as defined above),  $-SO_2R^{11}$  (where  $R^{11}$  is alkyl, substituted alkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, heteroaryl, substituted heteroaryl, heteroaralkyl, or substituted heteroaralkyl) or  $-(CHR^5)_{n2}-NH-(CO-Ar^3-NH)_m-CO-Ar^4-NHR^2$  where  $n2$  is 2 to 4,  $R^5$  is hydrogen, alkyl, or substituted alkyl, and  $Ar^3$ , m,  $Ar^4$ , and  $R^2$  are as defined above],  $-CO-NH-$ , or  $-NH-CO-$ , provided that

when Z and/or Z<sup>1</sup> is -NR<sup>10</sup>- then it is separated from another nitrogen atom by at least two carbon atoms;

or a pharmaceutically acceptable salt thereof.

2. The compound of Claim 1 wherein Ar<sup>1</sup>, Ar<sup>2</sup>, Ar<sup>3</sup> and Ar<sup>4</sup> are independently an optionally substituted heteroarylene.
3. The compound of Claim 2 wherein Ar<sup>1</sup>, Ar<sup>2</sup>, Ar<sup>3</sup> and Ar<sup>4</sup> are independently a 1-methylpyrrole that is linked to the carbonyl group at the 2-position and the amino group at the 4-position of the pyrrole ring.
4. The compound of Claim 1 wherein n and m are 0 or 1.
5. The compound of Claim 4 wherein Ar<sup>1</sup>, Ar<sup>2</sup>, Ar<sup>3</sup> and Ar<sup>4</sup> are independently an optionally substituted heteroarylene.
6. The compound of Claim 1 wherein R<sup>1</sup> and R<sup>2</sup> are independently -COR<sup>3</sup>.
7. The compound of Claim 6 wherein R<sup>1</sup> and R<sup>2</sup> are independently aminomethylcarbonyl, 1-amino-4-guanidinobutylcarbonyl, 1,4-diaminobutylcarbonyl, 1,5-diaminopentyl-carbonyl, 1-amino-5-(3,4-difluorophenylureido)pentylcarbonyl, 1-(3,4-difluoro-phenylureido)-4-guanidinobutylcarbonyl, 1-[4-(N,N-(2-chloroethyl)-aminophenyl-butanoyl)]amino-4-guanidinobutylcarbonyl, 1-amino-5-[4-(N,N-(2-chloroethyl)-aminophenyl-butanoyl)]aminopentylcarbonyl, or pyrene-1-ylmethoxy.
8. The compound of Claim 1 wherein L is alkylene.

9. The compound of Claim 8 wherein L is 1,2-ethylene, 1,3-propylene, 1,4-butylene, 1,6-hexylene, 1,8-octylene, 1,12-dodecylene, 1-methylethylene, or 1,2-hexadecylene.

10. The compound of Claim 1 wherein L is substituted alkylene.

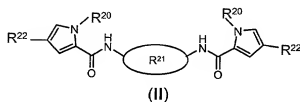
11. The compound of Claim 10 wherein L is meso-1,2-diphenylethylene, 1-(p-nitrophenylaminocarbonyl)-1,5-pentylene, 1-(naph-2-ylaminocarbonyl)-1,5-pentylene, 1-(pentafluorophenylhydrazidocarbonyl)-1,5-pentylene, 1-(5-trifluoro-pyrimidin-2-ylhydrazidocarbonyl)-1,5-pentylene, 1-(2-pyrene-1-ylethylamino-carbonyl)-1,5-pentylene, 1-[2-(6-nitrobenzimidazol-1-ylethylaminocarbonyl)-1,5-pentylene, 1-[2-(indol-3-yl)-ethylaminocarbonyl]-1,5-pentylene, 1-[2-(5-fluoroindol-3-yl)ethylaminocarbonyl]-1,5-pentylene, 1-[2-(4-nitrophenyl)ethylaminocarbonyl]-1,5-pentylene, 1-(benzyloxycarbonyl-hydrazidocarbonyl)-1,2-ethylene, 1-(naph-1-ylaminocarbonyl)-1,5-pentylene, 1-(4-pyrene-1-ylbutylaminocarbonyl)-1,5-pentylene, 1-(2-(2-trifluoromethylquinolin-4-yl)thio-ethylaminocarbonyl)-1,5-pentylene, 1-(pentafluorophenylhydrazidocarbonyl)-1,4-butylene, 1-(4-pyrene-1-ylmethylaminocarbonyl)-1,5-pentylene, 1-(2-hydroxyethylaminocarbonyl)-1,5-pentylene, 1-(2-aminoethylaminocarbonyl)-1,5-pentylene, 1-(3-dimethylaminopropyl-aminocarbonyl)-1,5-pentylene, 1-(bis-(2-aminoethyl)aminoethylaminocarbonyl)-1,5-pentylene, 1-(N-(2-aminoethyl)aminoethylaminocarbonyl)-1,5-pentylene, 2-(amino-methylcarbonyl-amino)-1,3-propylene, or 2-(3-hydroxypyrrolidin-5-ylcarbonyl-amino)-1,3-propylene.

12. The compound of Claim 1 wherein L is  $-(\text{alkylene})_x\text{-Z-(alkylene)}_y\text{-(Z}^n\text{)}_z\text{-}$ .

13. The compound of Claim 12 wherein L is m-xylene, p-xylene, 2,7-fluorendiyl, *bis*-(3-N-benzyloxycarbonylamino)propylene  $[-(\text{CH}_2)_3\text{-N(BzOCO)-}(\text{CH}_2)_3\text{-}]$ , *bis*-(2-naph-2-ylsulfonylamino)ethylene  $[-(\text{CH}_2)_2\text{-N(SO}_2\text{naph-2-yl)-}(\text{CH}_2)_2\text{-}]$ , *bis*-(2-N-3,5-dinitrophenylcarbonylamino)ethylene

[-(CH<sub>2</sub>)<sub>2</sub>-N(-CO-3,5-dinitrophenyl)-(CH<sub>2</sub>)<sub>2</sub>-], 1,3-cyclohexyl-bis-methylene [-  
(CH<sub>2</sub>)-(1,3-C<sub>6</sub>H<sub>10</sub>)-(CH<sub>2</sub>)-], 1,4-cyclohexyl-bis-methylene [-(CH<sub>2</sub>)-(1,4-  
C<sub>6</sub>H<sub>10</sub>)-(CH<sub>2</sub>)-], 4,4'-methylene-bis-1,4-cyclohexylene [- (1,4-C<sub>6</sub>H<sub>10</sub>)-(CH<sub>2</sub>-  
(1,4-C<sub>6</sub>H<sub>10</sub>)-], 1,2-cyclohexylene (1,2-C<sub>6</sub>H<sub>10</sub>-), *bis*-(2-adamanty11-  
ylcarbonylamino)ethylene, *bis*-(3-N-methylamino)propylene [- (CH<sub>2</sub>)<sub>3</sub>-N(-  
CH<sub>3</sub>)-(CH<sub>2</sub>)<sub>3</sub>-], *bis*-(3-amino)propylene [- (CH<sub>2</sub>)<sub>3</sub>-NH-(CH<sub>2</sub>)<sub>3</sub>-], 1,4-  
piperazino- *bis*-propylene [- (CH<sub>2</sub>)<sub>3</sub>-(1,4-piperazino)-(CH<sub>2</sub>)<sub>3</sub>-], *bis*-(2-(2-  
aminoethyl)amino)ethylene [- (CH<sub>2</sub>)<sub>2</sub>-N(-(CH<sub>2</sub>)<sub>2</sub>NH<sub>2</sub>)-(CH<sub>2</sub>)<sub>2</sub>-], and *bis*-(2-  
amino)ethylene [- (CH<sub>2</sub>)<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>2</sub>-].

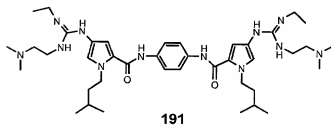
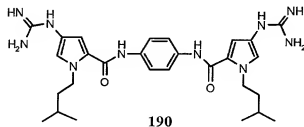
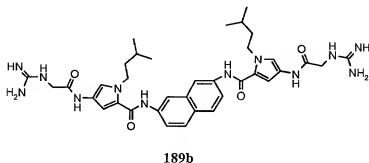
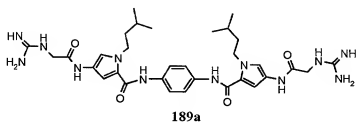
14. A pharmaceutical composition comprising a therapeutically effective amount  
of a compound of Claims 1-13 and a pharmaceutically suitable carrier.
15. A method for the treatment of diseases caused by pathogenic organisms,  
which comprises administering to a mammal in need of such treatment a  
therapeutically effective amount of a pharmaceutical composition containing  
a therapeutically effective amount of a compound of Claims 1-13 and a  
pharmaceutically suitable carrier.
16. The method of Claim 15 wherein the disease is cancer.
17. A compound of the formula (II).

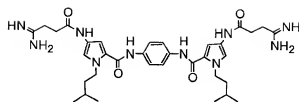


wherein R<sup>21</sup> is an arylene, heteroarylene, substituted arylene or substituted  
heteroarylene; each R<sup>20</sup> is independently alkyl or substituted alkyl; and each  
R<sup>22</sup> is independently guanidino or amidino.

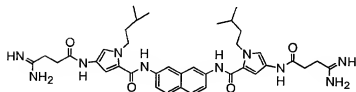
18. The compound of claim 17 where in  $R^{21}$  is selected from the group consisting of 1,4-phenylene, 1,3-phenylene, 1,3'-phenylene, 1,4-pyridylene, 1,3-pyridylene, 2,4-pyrimidinylene, 2,5-pyrimidinylene, 3,5-(1,2,4)-triazolene, 2,5-thiazolene, and 2,7-naphthylene; wherein said 1,4-phenylene and 1,3-phenylene are optionally substituted; and each  $R^{20}$  is independently selected from the group consisting of methyl, ethyl, propyl, isoamyl, and cyclopropylmethyl.

19. The compound of claim 18 selected from the group consisting of

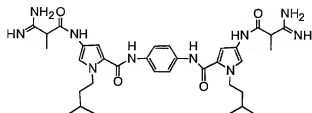




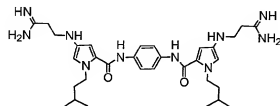
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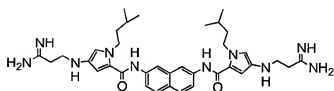
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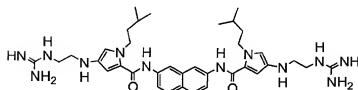
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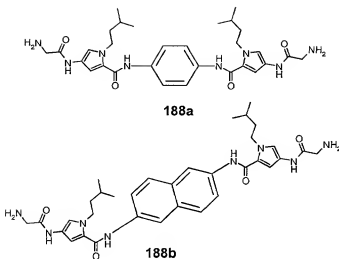
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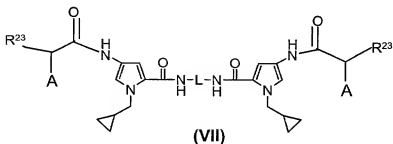


204



and pharmaceutically acceptable salts thereof.

20. A compound of the formula (VII)



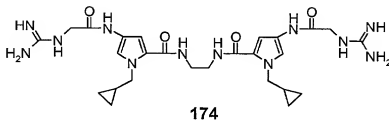
Wherein

L is selected from the group consisting of alkylene and cycloalkylene;

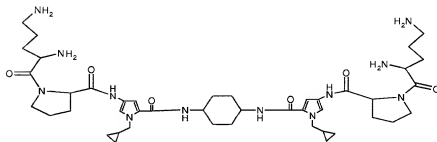
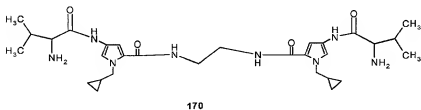
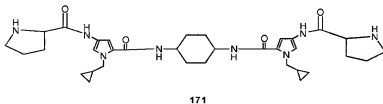
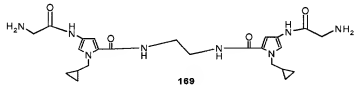
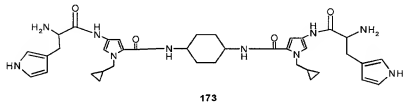
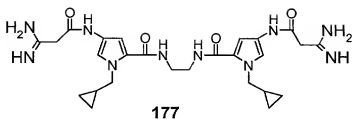
A is an amino acid side chain; and

$R^{23}$  is selected from the group consisting of guanidino, amino, and ornithylamino.

21. A compound of claim 20 selected from the group consisting of







and pharmaceutically acceptable salts thereof.

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22. A compound selected from the group consisting of:

Compounds 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22, 23, 24, 25, 26, 27, 28, 29, 30, 31, 32, 33, 34, 35, 36, 37, 38, 39, 40, 41, 42, 43, 44, 48, 49, 50, 51, 52, 53, 54, 55, 56, 57, 58, 63, 66, 67, 68, 69, 70, 71, 72, 73, 74, 76, 77, 78, 79,

80, 81, 98, 99, 100, 101, 102, 103, 104, 105, 106, 107, 108, 109, 110, 111, 112, 113, 114, 115, 116, 117, 118, 119, 120, 121, 122, 123, 124, 125, 126, 127, 128, 129, 130, 131, 132, 133, 134, 135, 136, 137, 138, 139, 140, 141, 142, 143, 144, 145, 146, 147, 148, 149, 150, 151, 152, 153, 154, 155, 156, 157, 158. (depicted

5 on pages 17-25, and Figures 1-8).